

(19)



Europäisches Patentamt

European Patent Office

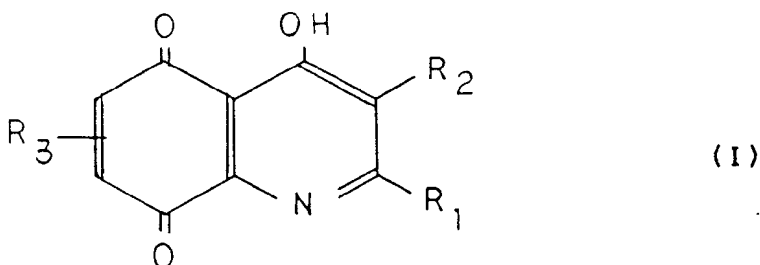
Office européen des brevets



(11) Publication number:

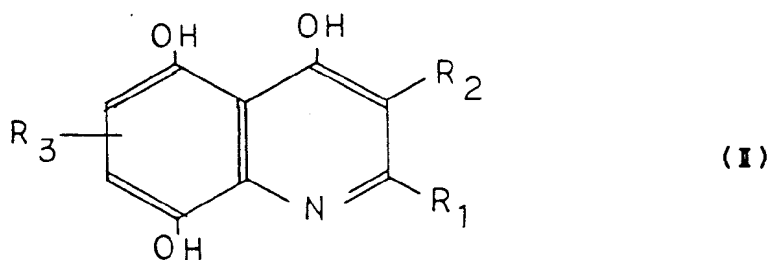
**0 433 679 A3**

(12)

**EUROPEAN PATENT APPLICATION**(21) Application number: **90122096.2**(51) Int. Cl.<sup>5</sup>: **A61K 31/535, A61K 31/47**(22) Date of filing: **19.11.90**(30) Priority: **20.11.89 JP 301413/89**(43) Date of publication of application:  
**26.06.91 Bulletin 91/26**(84) Designated Contracting States:  
**AT BE CH DE DK ES FR GB GR IT LI LU NL SE**(88) Date of deferred publication of the search report:  
**04.03.92 Bulletin 92/10**(71) Applicant: **Senju Pharmaceutical Co., Ltd.****5-8, Hiranomachi 2-chome, Chuo-Ku  
Osaka-shi, Osaka 541(JP)**(72) Inventor: **Inoue, Jun  
13-57 Shojaku 4-chome  
Settsu-shi, Osaka-fu(JP)**(74) Representative: **Kinzebach, Werner, Dr. et al  
Patentanwälte Reitstötter, Kinzebach und  
Partner Sternwartstrasse 4 Postfach 86 06 49  
W-8000 München 86(DE)**(54) **Pharmaceutical compositions for inhibition of maillard's reaction.**(57) Presented are pharmaceutical compositions comprising in admixture with a carrier a compound of;  
(a) the formula (I) or a pharmaceutically acceptable salt thereof:

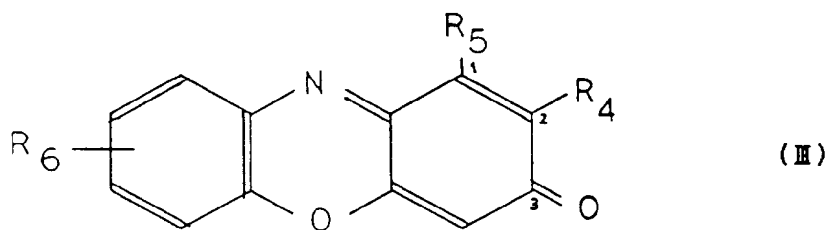
wherein R<sub>1</sub> and R<sub>2</sub> are each hydrogen, methyl, trifluoromethyl, carboxy, methoxycarbonyl or ethoxycarbonyl, and R<sub>3</sub> is hydrogen or hydroxy;

(b) the formula (I) or a pharmaceutically acceptable salt thereof:


**EP 0 433 679 A3**

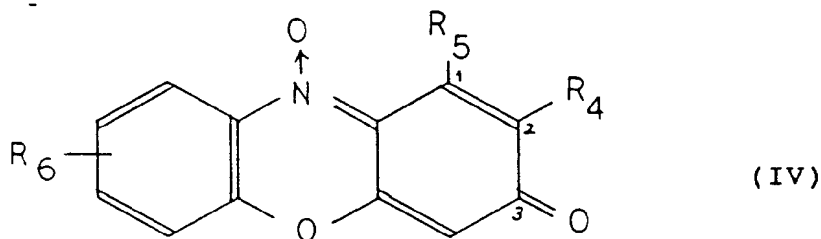
wherein R, R<sub>2</sub> and R<sub>3</sub> are as defined;

(c) the formula (III) or a pharmaceutically acceptable salt thereof:



wherein R<sub>4</sub> and R<sub>5</sub> are each hydrogen or form, by incorporation of C<sub>1</sub> and C<sub>2</sub> carbon atoms, a condensed [2.1-b] pyridine ring optionally substituted with a hydroxyl group or a carboxyl group, and R<sub>6</sub> is hydrogen or hydroxy; or

(d) the formula (IV) or a pharmaceutically acceptable salt thereof:



wherein R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are as defined.

The compositions are used to inhibit Maillard's reaction in human body, the reaction which may be responsible for the development of diabetic complications and age-associated disorders. Methods of treatment and prophylaxis of such complications and disorders are also presented.



European  
Patent Office

## EUROPEAN SEARCH REPORT

Application Number

EP 90 12 2096

DOCUMENTS CONSIDERED TO BE RELEVANT			
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int. Cl.5)
X	US-A-4 359 455 (NAKAMURA et al.) * Column 7, example no. 5 * - - -	1-4	A 61 K 31/535 A 61 K 31/47
X	DATABASE WPIL, accession no. 81-52731D, Derwent Publications Ltd, London, GB; & JP-A-56 065 874 (MITSUI TOATSU CHEM. INC.) * Abstract * - - -	1-4	
X	DE-A-3 904 731 (KIKKOMAN CORP.) * Page 3, lines 13-17 * - - -	1-4	
X,P	WO-A-9 001 558 (POLAROID CORP.) - - -	1-4	
A	CHEMICAL ABSTRACTS, vol. 96, no. 20, 17th May 1982, page 402, abstract no. 168726x, Columbus, Ohio, US; & JP-A-81 164 112 (S. SHIOZU) 17-12-1981 * Abstract * - - -	1-5	
A	US-A-4 861 775 (ZEECK et al.) * The whole document * - - - - -	1-5	
			TECHNICAL FIELDS SEARCHED (Int. Cl.5)
			A 61 K
The present search report has been drawn up for all claims			
Place of search		Date of completion of search	Examiner
The Hague		10 December 91	GERLI P.F.M.
<div>CATEGORY OF CITED DOCUMENTS</div> <div>X : particularly relevant if taken alone</div> <div>Y : particularly relevant if combined with another document of the same category</div> <div>A : technological background</div> <div>O : non-written disclosure</div> <div>P : intermediate document</div> <div>T : theory or principle underlying the invention</div> <div>E : earlier patent document, but published on, or after the filing date</div> <div>D : document cited in the application</div> <div>L : document cited for other reasons</div> <div>&amp; : member of the same patent family, corresponding document</div>			